(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 18 December 2003 (18.12.2003)

PCT

(10) International Publication Number WO 03/104218 A1

- (51) International Patent Classification7: C07D 333/36, A61K 31/38
- (21) International Application Number: PCT/US03/17385
- 29 May 2003 (29.05.2003) (22) International Filing Date:
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/386,556

6 June 2002 (06.06.2002)

- (71) Applicant (for all designated States except US): CORPORATION **BEECHAM** SMITHKLINE [US/US]; P.O. Box 7929, One Franklin Plaza, Philadelphia, PA 19101 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): CALLAHAN, James, F. [US/US]; 709 Swedeland Road, King of Prussia, PA 19406 (US). LI, Yue, H. [CN/US]; 709 Swedeland Road, King of Prussia, PA 19406 (US).
- (74) Agent: MADDEN, Laura, K.; UW2220, 709 Swedeland Road, King Of Prussia, PA 10406 (US).

- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NF-:B INHIBITORS

(57) Abstract: The present invention provides novel compounds and methods for using them to treat diseases with aminothiophene inhibitors of IKK-B phosphorylation of I:B. In so doing these aminothiophene inhibitors block pathological activation of transcription factor NF-:B in which diseases excessive activation of NF-:B is implicated.